PATENT ABSTRACTS OF JAPAN

(11)Publication number:

2000-319255

(43) Date of publication of application: 21.11.2000

(51)Int.CI.

C07D209/08 B01J 31/24 C07B 61/00 C07D403/04

(21)Application number: 2000-071046

(71)Applicant: TOSOH CORP

(22) Date of filing:

09.03.2000

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(30)Priority

Priority number: 11063694

Priority date: 10.03.1999

Priority country: JP

(54) PRODUCTION OF SUBSTITUTED INDOLE DERIVATIVE

(57) Abstract:

PROBLEM TO BE SOLVED: To obtain the subject derivative from easily available raw materials by a simple method comprising reacting a specific hydrazone derivative with a specified amine in the presence of a catalyst comprising a phosphine and a palladium compound and in the presence of a specified amine.

SOLUTION: This method for producing a derivative of formula II comprises reacting (A) a hydrazone derivative of formula I [R1 and R2 are each H, an alkyl or a (hetero)aryl; R3 is H or aryl; X is a halogenol with (C) an aurino of the formula: R4R5NH (R4 and R5 are each H, an alkyl or an aryl, or R4 and R5 are bound to each other together with the N atom to forux a heterocyclic group) in the presence of (B) a catalyst comprising (i) a phosphine in an amount of 0.5 to 10 fold moles of the component ii and (ii) a palladium compound in an amount of 0.001 to 10 mol.% (converted into palladium) based on the component A and in the presence of a base in a molar ratio of 0.7 to 5 to the component A. The component i is preferably the compound having a tertiary butyl-phosphine bond.

LEGAL STATUS

[Date of request for examination]

Date of sending the examiner's decision of

rejection]

[Kind of final disposal of application other than the examiner's decision of rejection or application converted registration]

[Date of final disposal for application]

[Patent number]

[Date of registration]

[Number of appeal against examiner's decision of rejection]

[Date of requesting appeal against examiner's decision of rejection]

[Date of extinction of right]

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